



## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<b>(51) International Patent Classification <sup>6</sup> :</b> <b>C07C 275/42, C07D 207/27, A61K 31/17, 31/40</b>	<b>A1</b>	<b>(11) International Publication Number:</b> <b>WO 99/33789</b>  <b>(43) International Publication Date:</b> 8 July 1999 (08.07.99)						
<div style="display: flex; justify-content: space-between;"> <div style="width: 48%;"> <p><b>(21) International Application Number:</b> PCT/GB98/03859</p> <p><b>(22) International Filing Date:</b> 23 December 1998 (23.12.98)</p> <p><b>(30) Priority Data:</b></p> <table style="width: 100%; border: none;"> <tr> <td style="width: 33%;">9727532.5</td> <td style="width: 33%;">23 December 1997 (23.12.97)</td> <td style="width: 33%;">GB</td> </tr> <tr> <td>60/092,602</td> <td>13 July 1998 (13.07.98)</td> <td>US</td> </tr> </table> <p><b>(71) Applicant (for all designated States except US):</b>            RHONE-POULENC RORER LIMITED [GB/GB];            RPR House, 50 Kings Hill Avenue, Kings Hill, West            Malling, Kent ME19 4AH (GB).</p> <p><b>(72) Inventors; and</b></p> <p><b>(75) Inventors/Applicants (for US only):</b> ASTLES, Peter, Charles            [GB/GB]; Rhone-Poulenc Rorer Limited, Rainham Road            South, Dagenham, Essex RM10 7XS (GB). HARRIS, Neil,            Victor [GB/GB]; Rhone-Poulenc Rorer Limited, Rainham            Road South, Dagenham, Essex RM10 7XS (GB). MORLEY,            Andrew, David [GB/GB]; Rhone-Poulenc Rorer Limited,            Rainham Road South, Dagenham, Essex RM10 7XS (GB).</p> <p><b>(74) Agent:</b> CAFFIN, Lee; Rhone-Poulenc Rorer Limited, Patent            Dept., Rainham Road South, Dagenham, Essex RM10 7XS            (GB).</p> </div> <div style="width: 48%;"> <p><b>(81) Designated States:</b> AL, AM, AT, AU, AZ, BA, BB, BG, BR,            BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD,            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP,            KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,            SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU,            ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, UG,            ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ,            TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI,            FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent            (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE,            SN, TD, TG).</p> <p><b>Published</b>  <i>With international search report.</i></p> </div> </div>			9727532.5	23 December 1997 (23.12.97)	GB	60/092,602	13 July 1998 (13.07.98)	US
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<p><b>(54) Title:</b> SUBSTITUTED <math>\beta</math>-ALANINES</p> <div style="text-align: center; margin: 20px 0;"> <p style="margin-top: 10px;">(I)</p> </div> <p><b>(57) Abstract</b></p> <p>The invention is directed to physiologically active compounds of general formula (I), wherein R<sup>1</sup> is hydrogen, halogen, lower alkyl or lower alkoxy; X<sup>1</sup>, X<sup>2</sup> and X<sup>6</sup> independently represent N or CR<sup>2</sup>; and one of X<sup>3</sup>, X<sup>4</sup> and X<sup>5</sup> represents CR<sup>3</sup> and the others independently represent N or CR<sup>2</sup> [where R<sup>2</sup> is hydrogen, halogen, lower alkyl or lower alkoxy; and R<sup>3</sup> represents a group -L<sup>1</sup>-(CH<sub>2</sub>)<sub>n</sub>-C(=O)-N(R<sup>4</sup>)-CH<sub>2</sub>-CH<sub>2</sub>-Y]; and their prodrugs, and pharmaceutically acceptable salts and solvates of such compounds and their prodrugs. Such compounds have valuable pharmaceutical properties, in particular the ability to regulate the interaction of VCAM-1 and fibronectin with the integrin VLA-4(<math>\alpha</math>4<math>\beta</math>1).</p>								